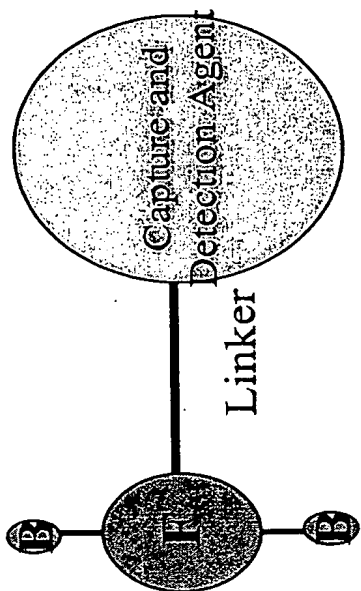
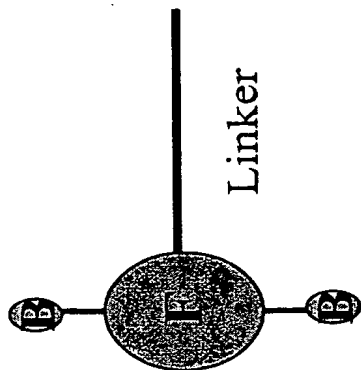




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High-throughput Target ID



Library of Bioactive
Compounds

Library of Target ID
Compounds

Use corresponding
activity-based probe to
identify the biological target

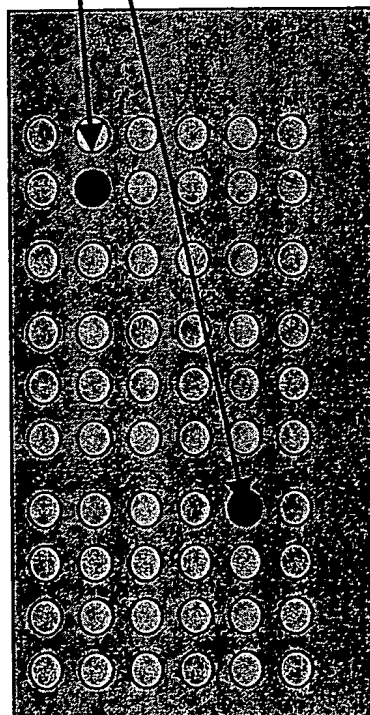


FIGURE 1



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PyS Δ PyS MeS MeS Δ

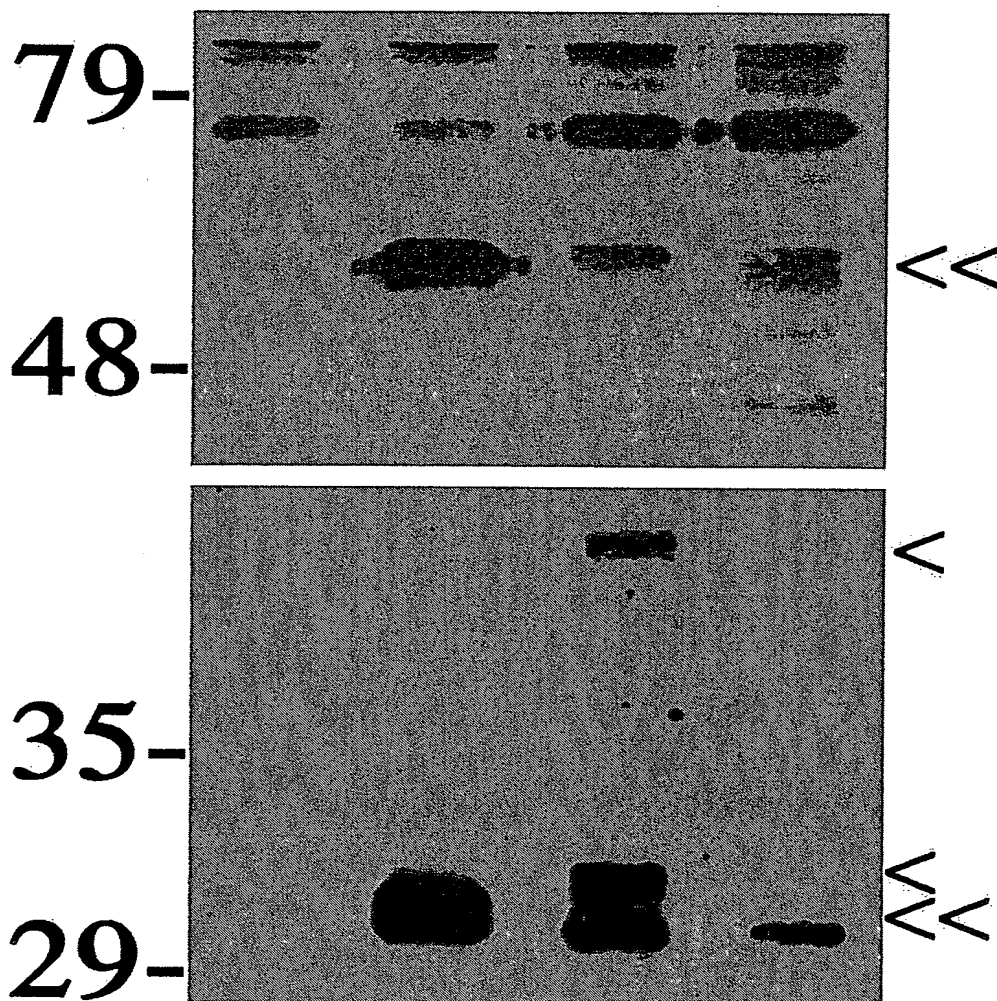
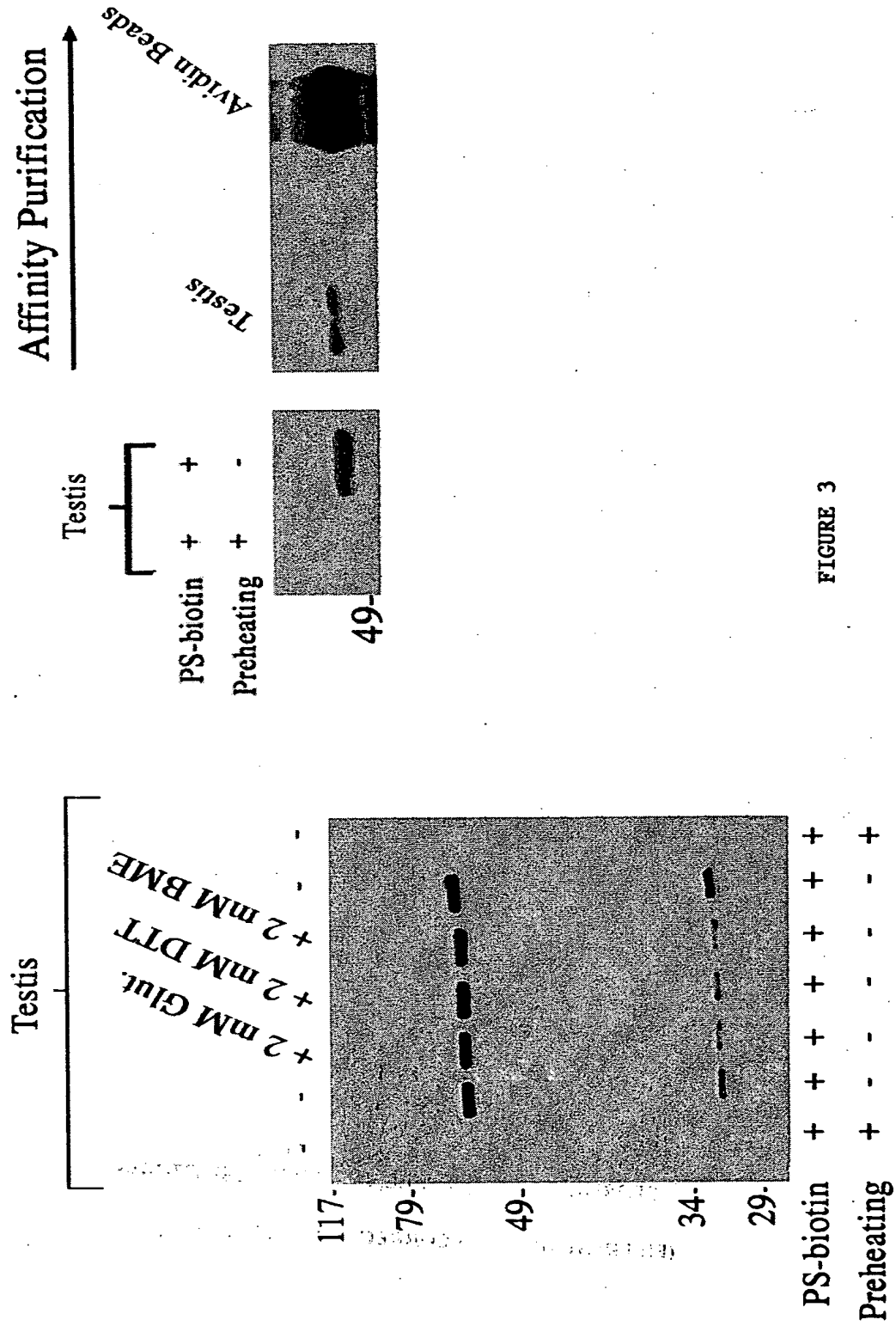


FIGURE 2



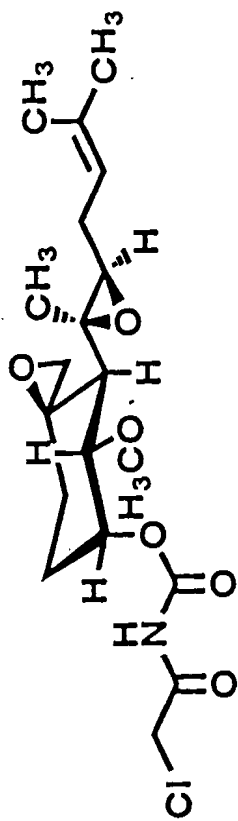
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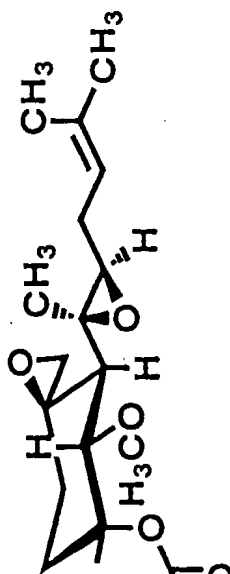


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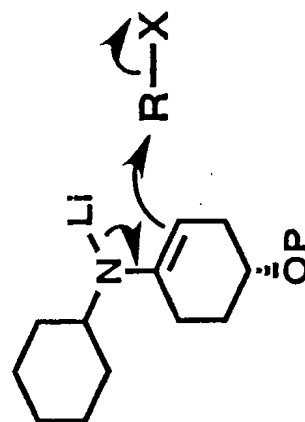
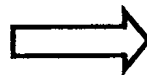
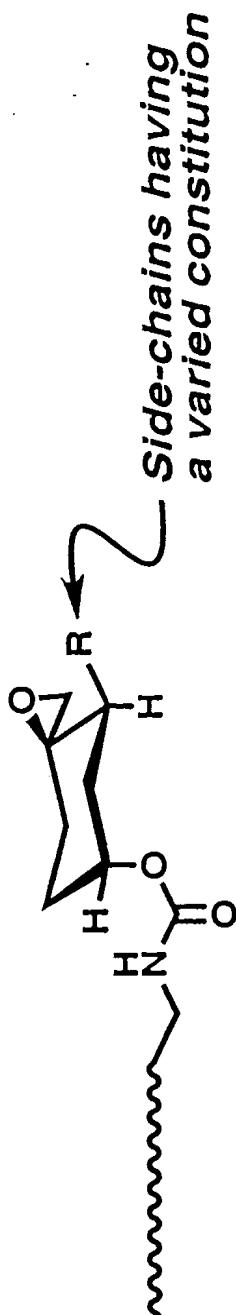
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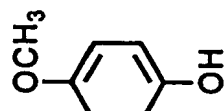
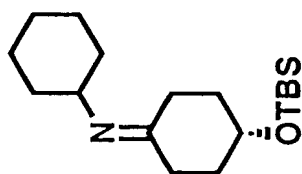


magillan



X = halide
R = various aliphatic, alicyclic, alkyl aryl, or
alkyl heteroaryl groups
P = unspecified protecting group

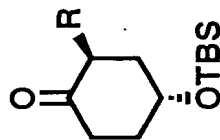
FIGURE 4A



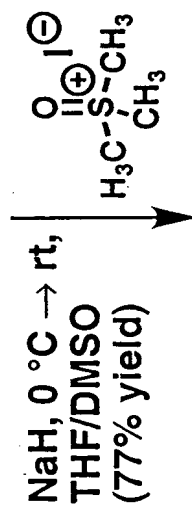
4 steps
(ca. 70% yield)

LDA, THF, 0 °C;

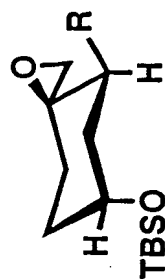
then R-Br, 0 °C → rt
(75% yield)



[major component of
a 2:1 mixture of epimers]



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1. *n*-Bu₄NF,
THF (95%)

2. NHS carbonate,
Et₃N, CH₃CN
3. Biotin-NH₂,
MeOH (72%)

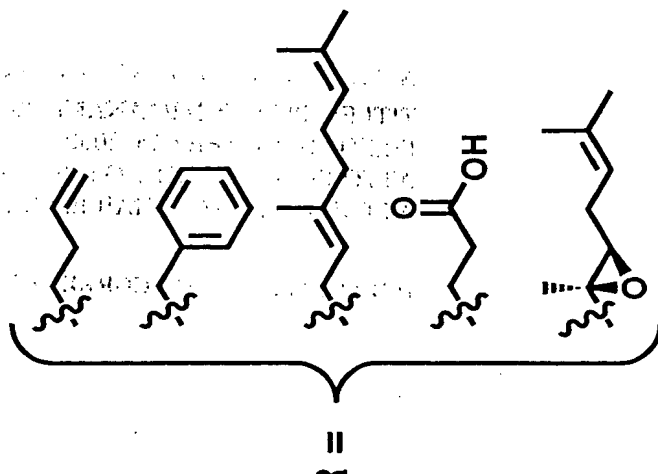
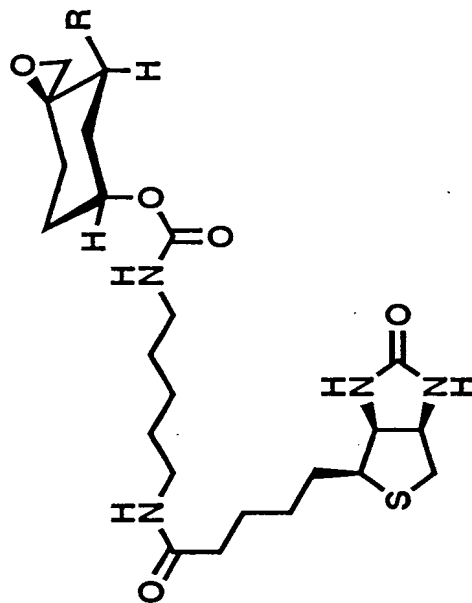


FIGURE 4B



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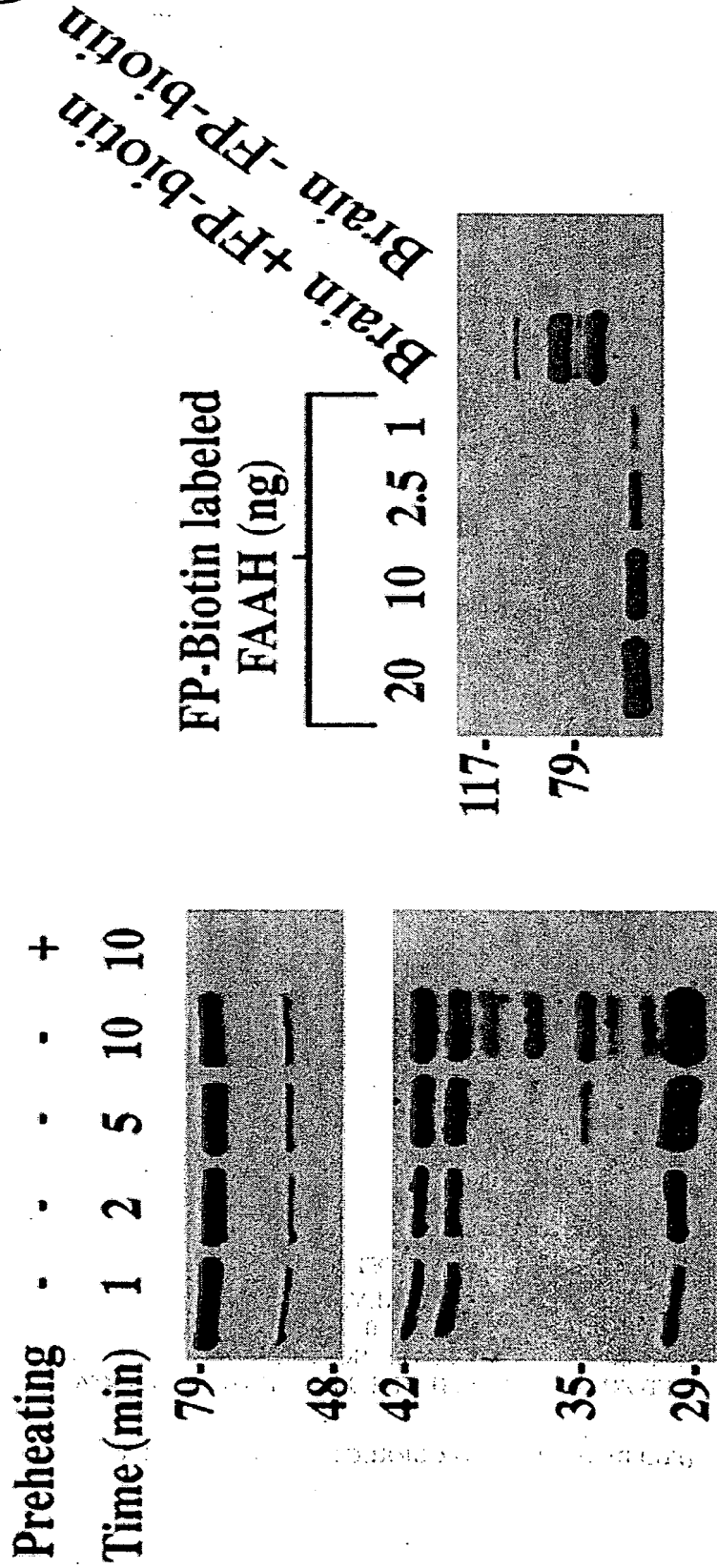


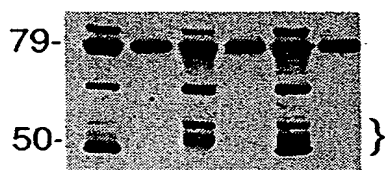
FIGURE 5



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FP-peg-biotin (μ M)	4	4	0	0	2	2
FP-biotin (μ M)	0	0	4	4	2	2
Preheated	-	+	-	+	-	+



FP-peg-biotin	-	-	+	+
FP-biotin	+	+	-	-
Preheated	+	-	-	+

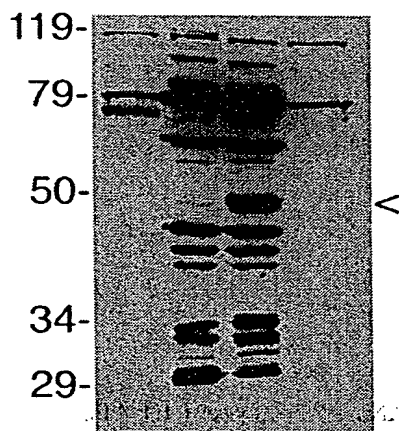


FIGURE 6

FIGURE 7



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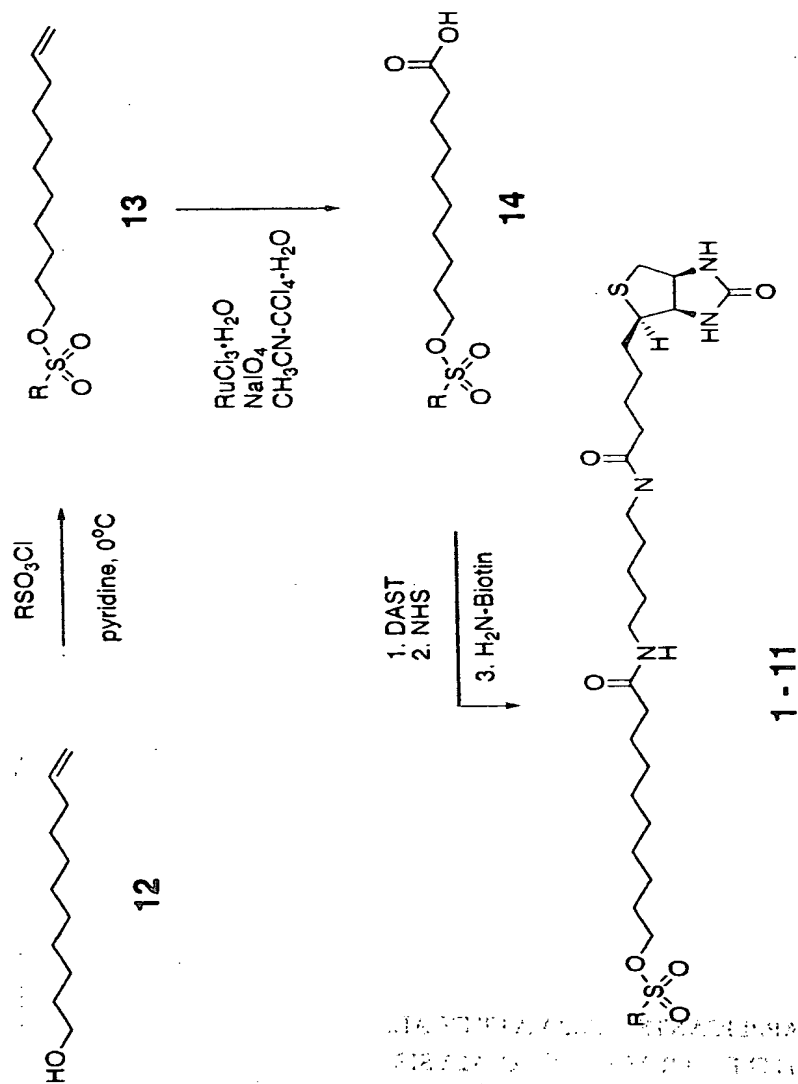


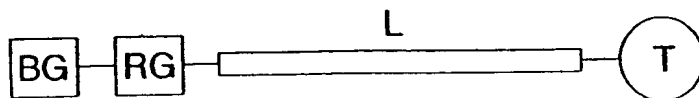
FIGURE 9



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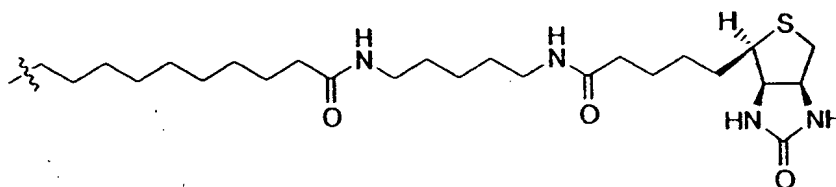
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A.

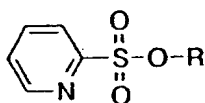


B.

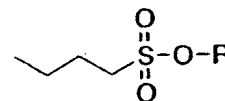
R =



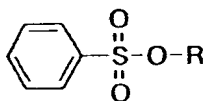
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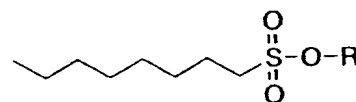
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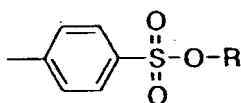
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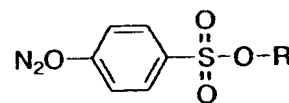
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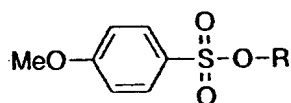
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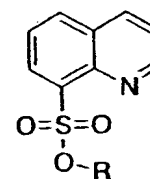
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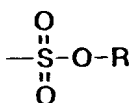
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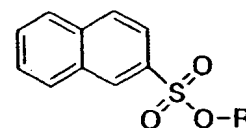
9



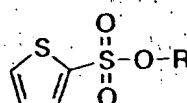
5



10



11

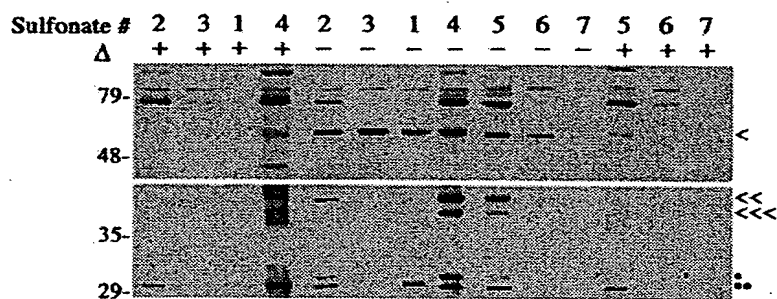




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A



B

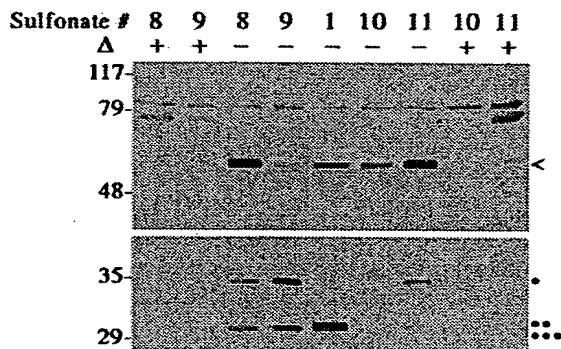


FIGURE 11

Figure 11 shows the results of a Western blot analysis of the effect of sulfonate treatment on the expression of the protein. The blot shows bands at 79 kDa, 48 kDa, 35 kDa, and 29 kDa. The lanes are labeled with Sulfonate # and Δ . The results show that sulfonate treatment (lanes 2, 3, 1, 4, 5, 6, 7, 5, 6, 7) leads to the expression of the protein at 79 kDa, 48 kDa, 35 kDa, and 29 kDa. The control lanes (lanes 8, 9, 8, 9, 1, 10, 11, 10, 11) show no expression of the protein at these molecular weights.

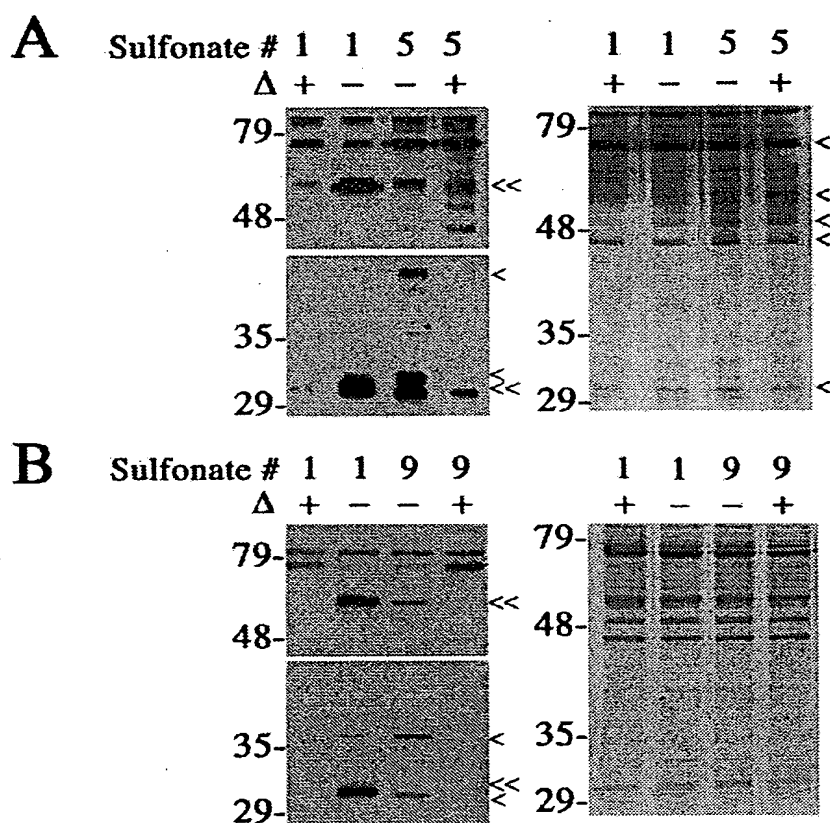
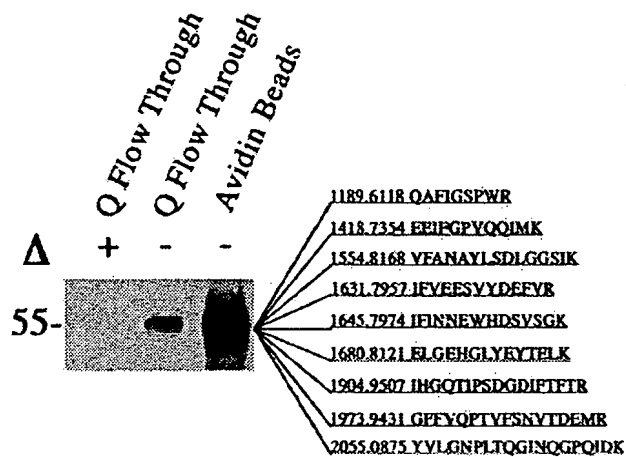


FIGURE 12

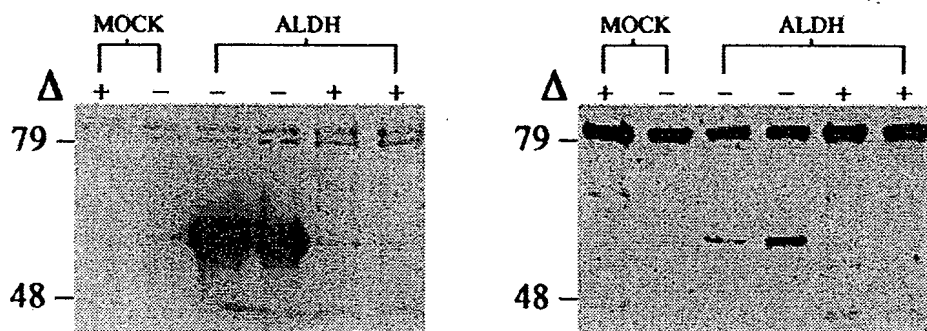


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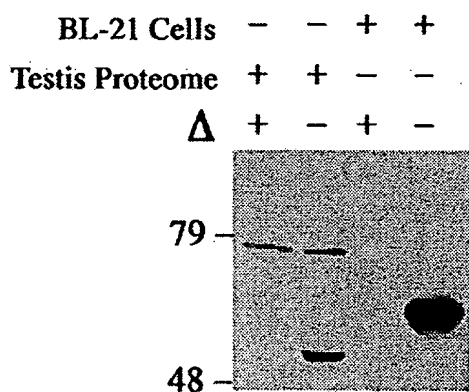
A



B



C



D

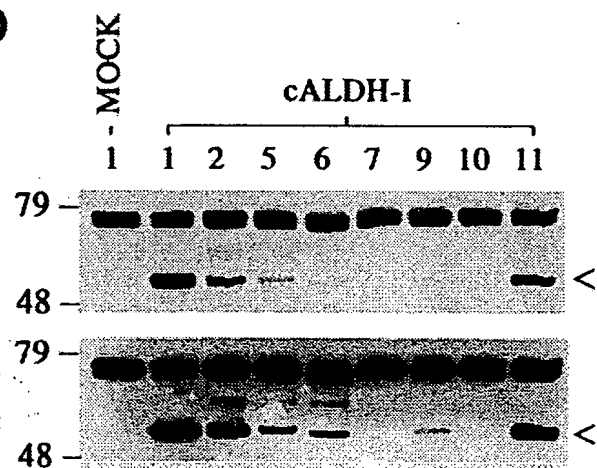
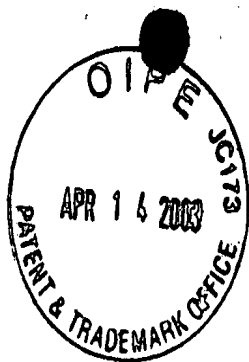
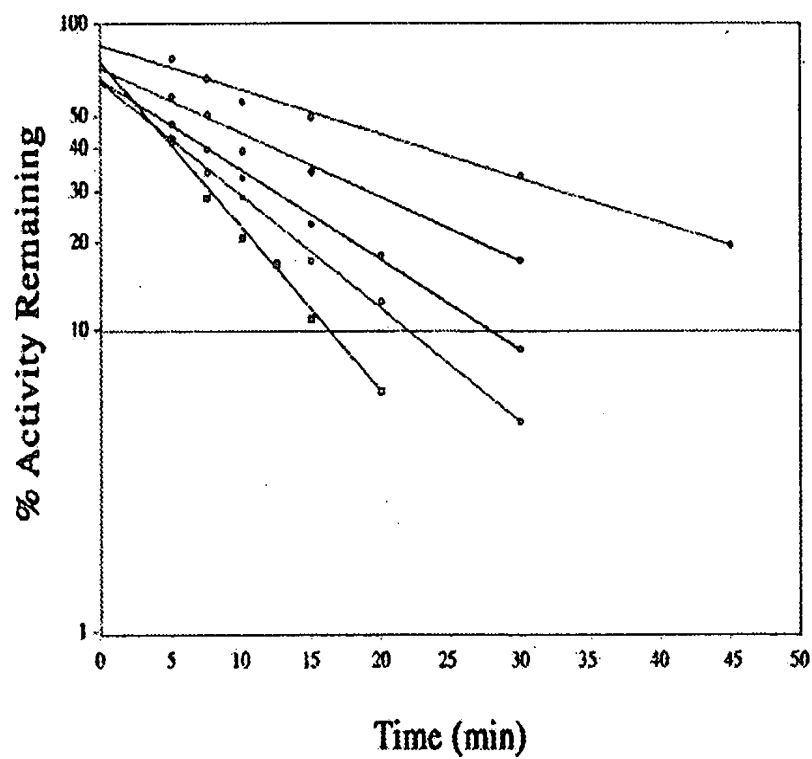


FIGURE 13



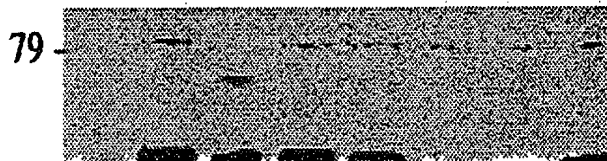
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A



B

Competitor #	-	-	15	17	16	15	17	16
[Competitor (μM)]	0	0	5	5	5	50	50	50
Δ	+	-	-	-	-	-	-	-





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FP-biotin	—	—	+	+	+	+
Sulfonate #1	+	+	+	—	+	—
Δ	+	—	—	—	+	+

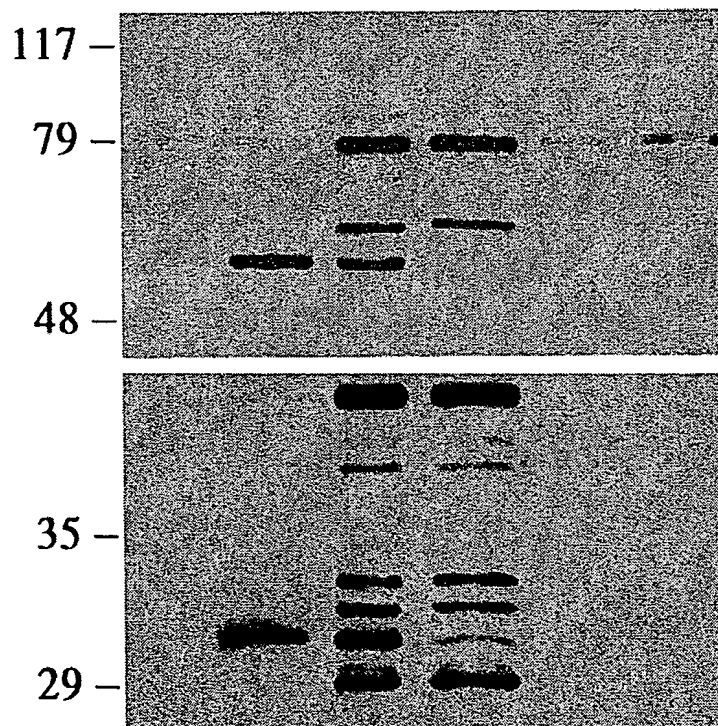
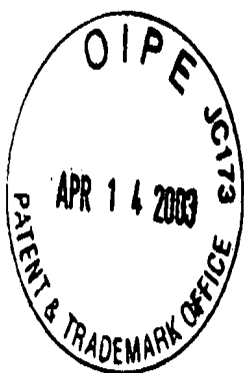
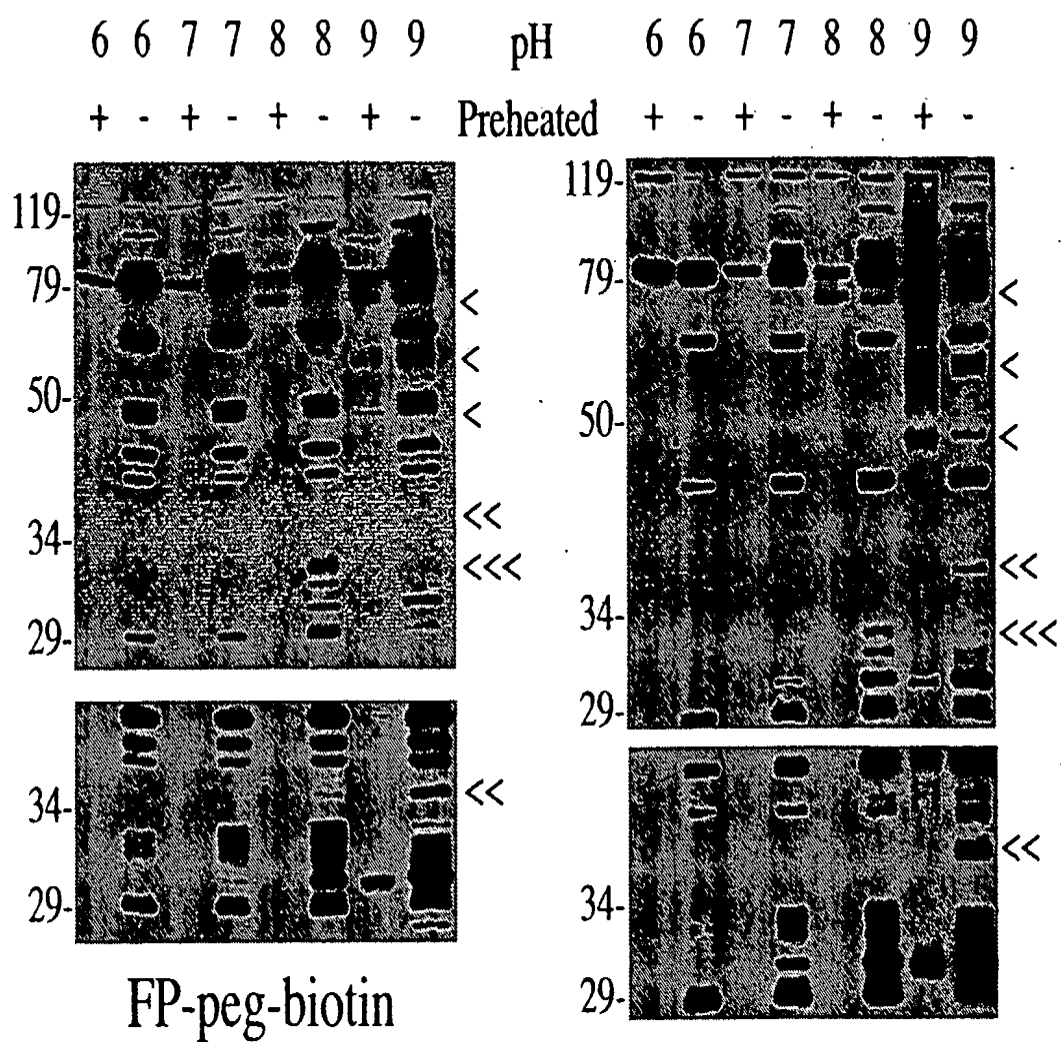


FIGURE 15



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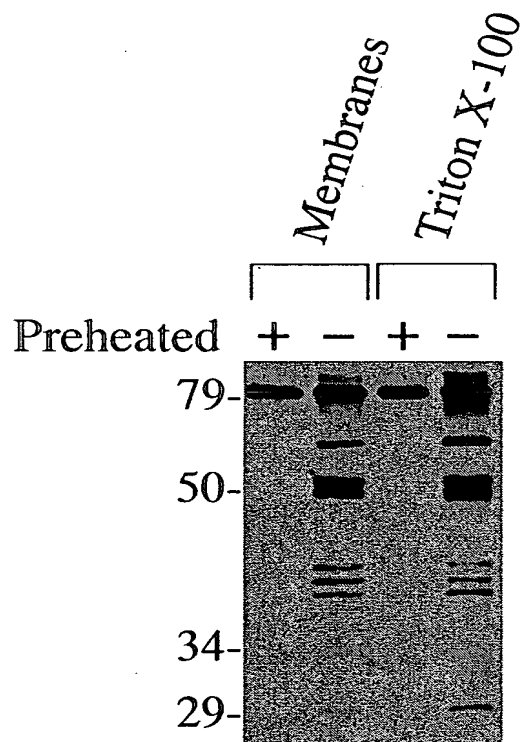


FIGURE 17

Western blot analysis of the effect of preheating on the binding of the anti-CD44 antibody to the cell surface. The cells were treated with the anti-CD44 antibody for 30 minutes at 4°C. The cells were then washed and the bound antibody was detected by Western blot analysis. The results show that preheating the cells before treatment with the anti-CD44 antibody significantly reduces the binding of the antibody to the cell surface.

Western blot analysis of the effect of preheating on the binding of the anti-CD44 antibody to the cell surface.

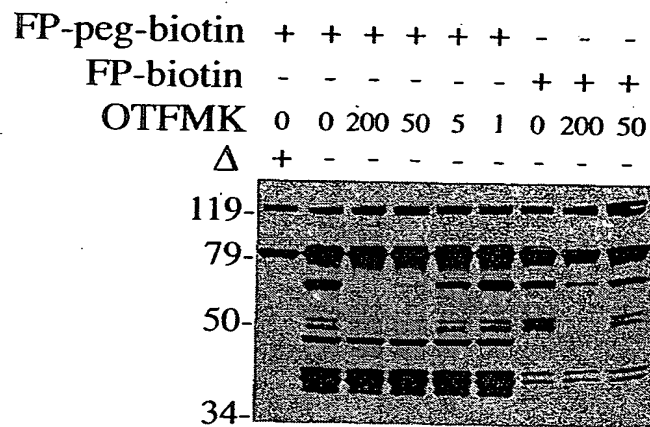


FIGURE 18



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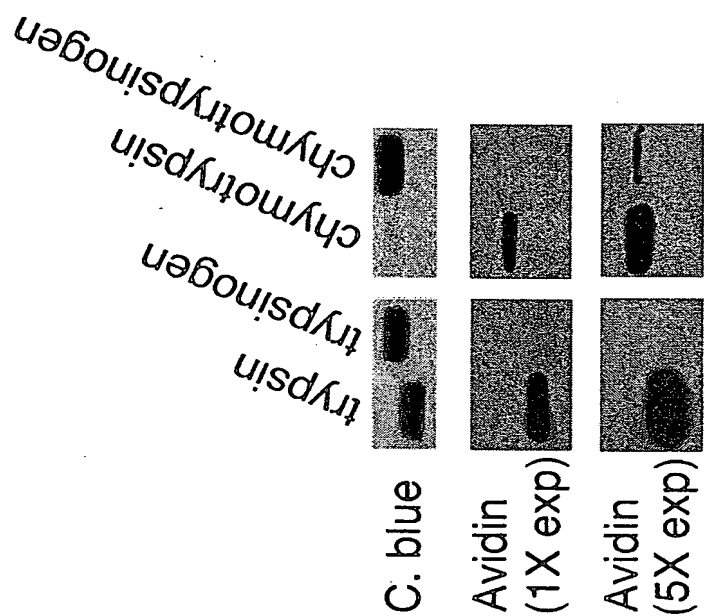


FIGURE 19

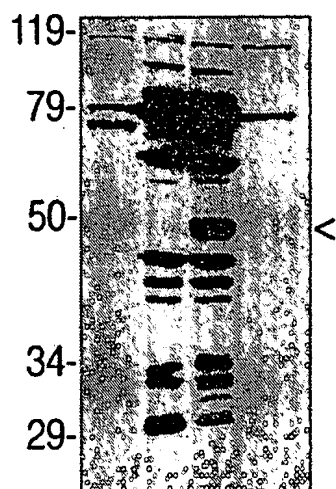


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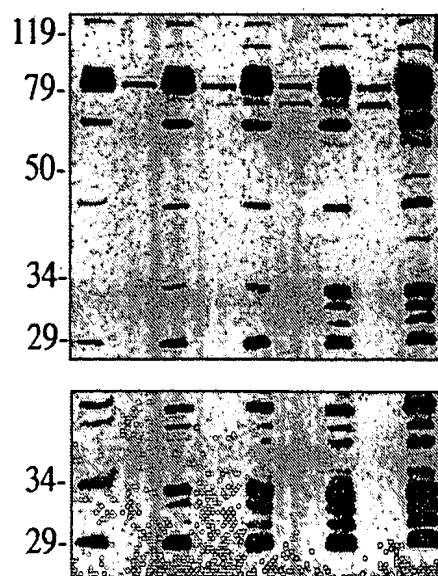
A.

FP-peg-biotin	-	-	+	+
FP-biotin	+	+	-	-
Preheated	+	-	-	+



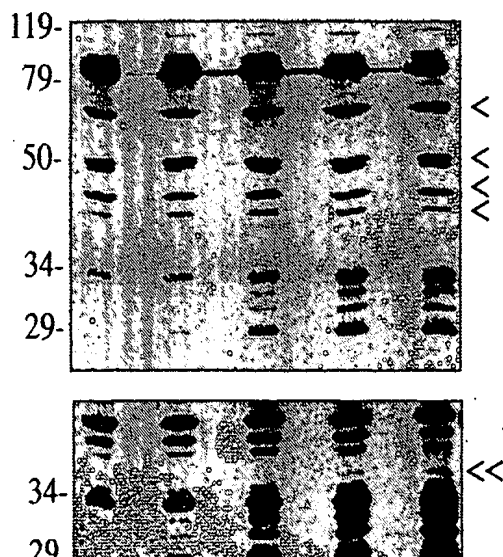
B.

FP-biotin (μ M)	0.5	1	1	2	2	4	4	8	8
Preheated	-	+	-	+	-	+	-	+	-



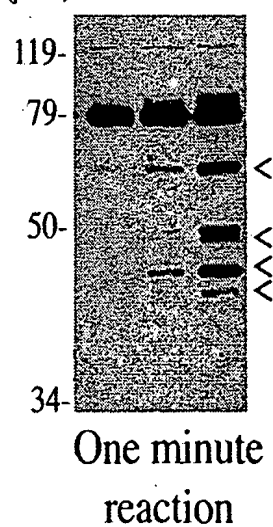
C.

FP-peg-biotin (μ M)	0.5	1	1	2	2	4	4	8	8
Preheated	-	+	-	+	-	+	-	+	-



D.

FP-peg-biotin (μ M)	1	2	8
--------------------------	---	---	---





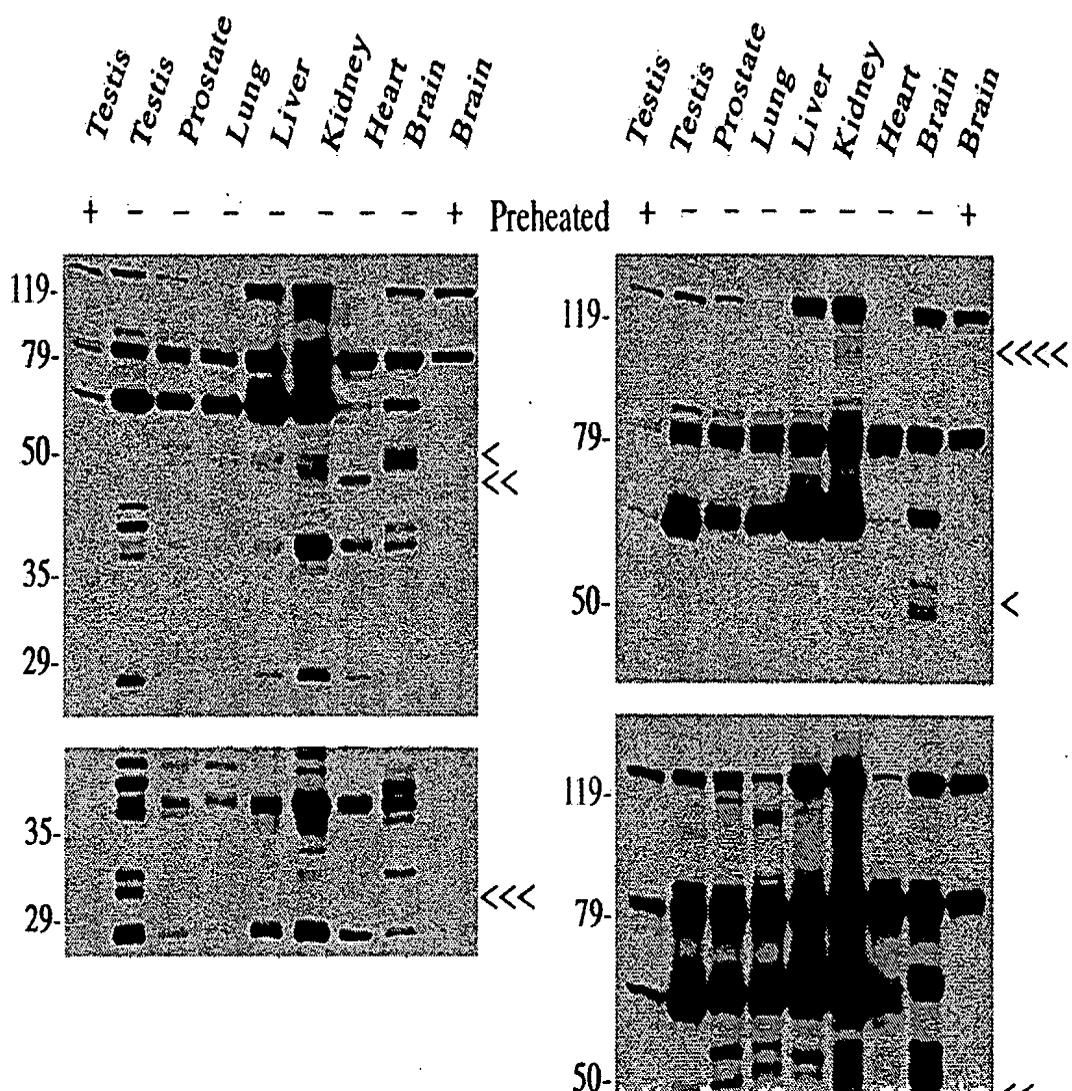
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FIGURE 21



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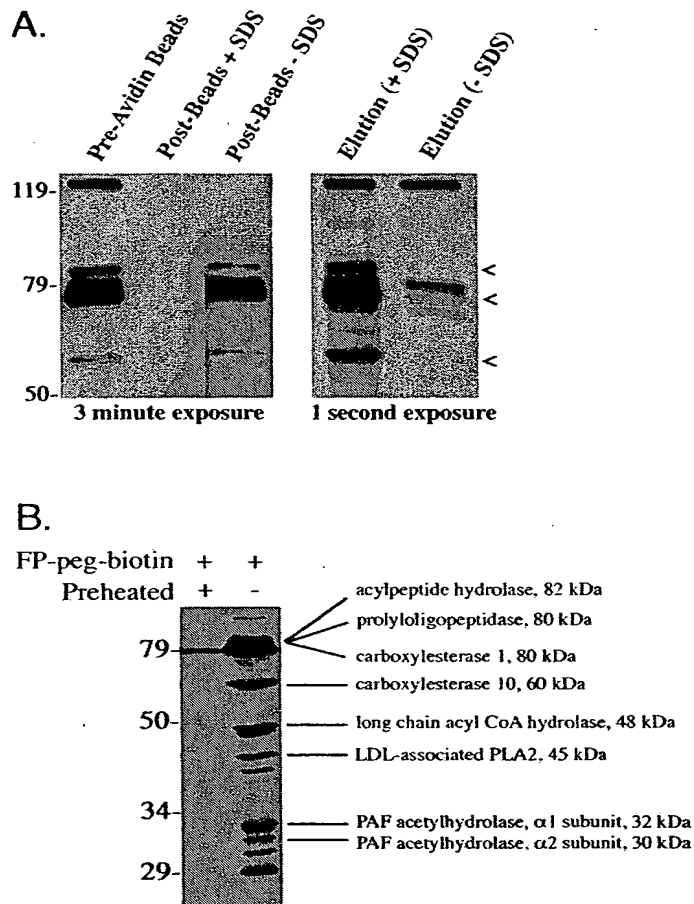


FIGURE 23